DRUG DOSAGE FORMS

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Types of dosage forms:

Definition: Dosage forms are the means by which drug molecules are delivered to sites of action within the body.

The need for dosage forms:

1- Accurate dose.

2- Protection e.g. coated tablets, sealed ampules.

3- Protection from gastric juice.

4- Masking taste and odour.

5- Placement of drugs within body tissues.

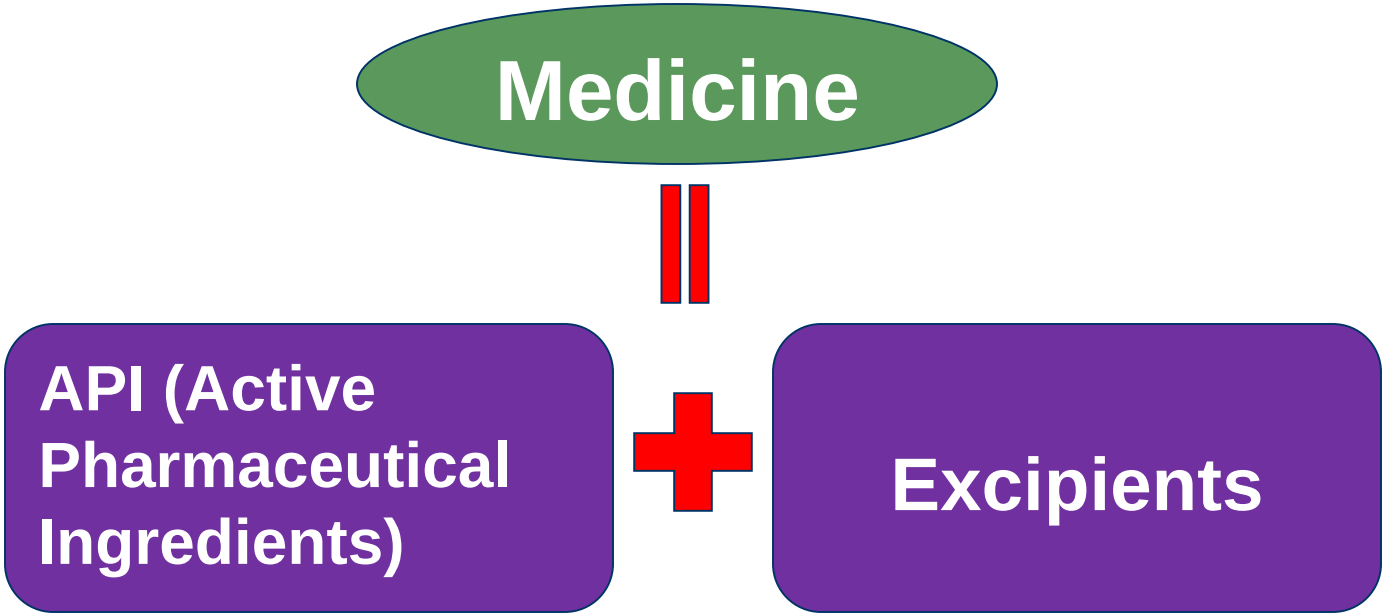
6- Sustained release medication.

7- Controlled release medication.

8- Optimal drug action.

9- Insertion of drugs into body cavities (rectal, vaginal)

10- Use of desired vehicle for insoluble drugs.


Medicine
=
API (Active Pharmaceutical Ingredients)
+
Excipients

Excipients

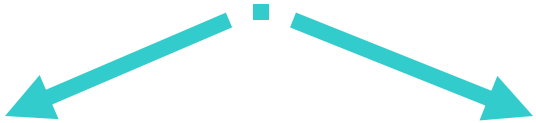
- Coloring agents
- Sweetening agents
- Flavoring agents
- Solubilizing agents
- Antioxidants
- Preservatives
- Thickening agents
- Suspending agents
- Binding agents
- Solvents
- Lubricants
- Perfumes

- Why we should be familiar with the basic properties of dosage forms?
  - API handling can be difficult or impossible (e.g. low mg and μg doses)
  - Accurate drug dosing can be difficult
  - API administration can be impractical, unfeasible or not according to the therapeutically aims
  - Some API can benefit from reducing the exposure to the environmental factors (light, moisture…), or they need to be chemically stabilised due to the inherent chemical instability

- API can be degraded at the site of administration (e.g., low pH in stomach)
- API may cause local irritations or injury when they are present at high concentrations at the site of administration
- API can have unpleasant organoleptic qualities (taste, smell – compliance!)
- Administration of active substance would mean to have no chance for modification (improvement) of its PK profile

Types of dosage forms:

They are classified according to:



Route of administration

I) Oral
II) Topical
III) Rectal
IV) Parenteral
V) Vaginal
VI) Inhaled
VII) Ophthalmic
VIII) Otic

Physical form

I) Solid
II) Semisolid
III) liquid
IV) Gaseous

I) Oral dosage forms:

1-Tablet:

A tablet is a hard, compressed medication in round, oval or square shape.

The excipients include:

-Binders, glidants (flow aids) and lubricants to ensure efficient tabletting.

-Disintegrants to ensure that the tablet breaks up in the digestive tract.

-Sweeteners or flavours to mask the taste of bad-tasting active ingredients.

-Pigments to make uncoated tablets visually attractive.

.

1-Tablet (Cont.)

A coating may be applied to:

1- hide the taste of the tablet's components.

2- make the tablet smoother and easier to swallow .

3- make it more resistant to the environment.

4- extending its shelf life.

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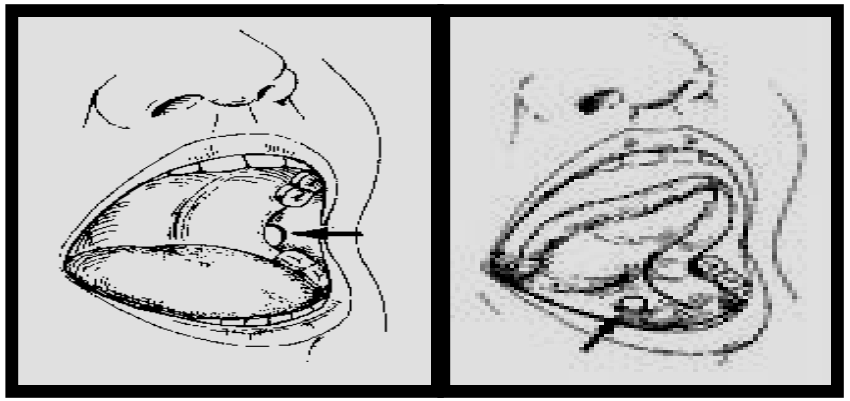
2-Buccal and sublingual tablet:



- Sublingual and buccal medications are administered by placing them in the mouth, either under the tongue (sublingual) or between the gum and the cheek (buccal).

- The medications dissolve rapidly and are absorbed through the mucous membranes of the mouth, where they enter into the bloodstream.

- Avoid the acid and enzymatic environment of the stomach and the drug metabolizing enzymes of the liver.

- Examples of drugs administered by this route: e.g. vasodilators, steroidal hormones.

3-Effervescent tablet:

Effervescent tablets are uncoated tablets that generally contain acid substances (citric and tartaric acids) and carbonates or bicarbonates and which react rapidly in the presence of water by releasing carbon dioxide.

-They are intended to be dissolved or dispersed in water before use providing:

A- Very rapid tablet dispersion and dissolution.

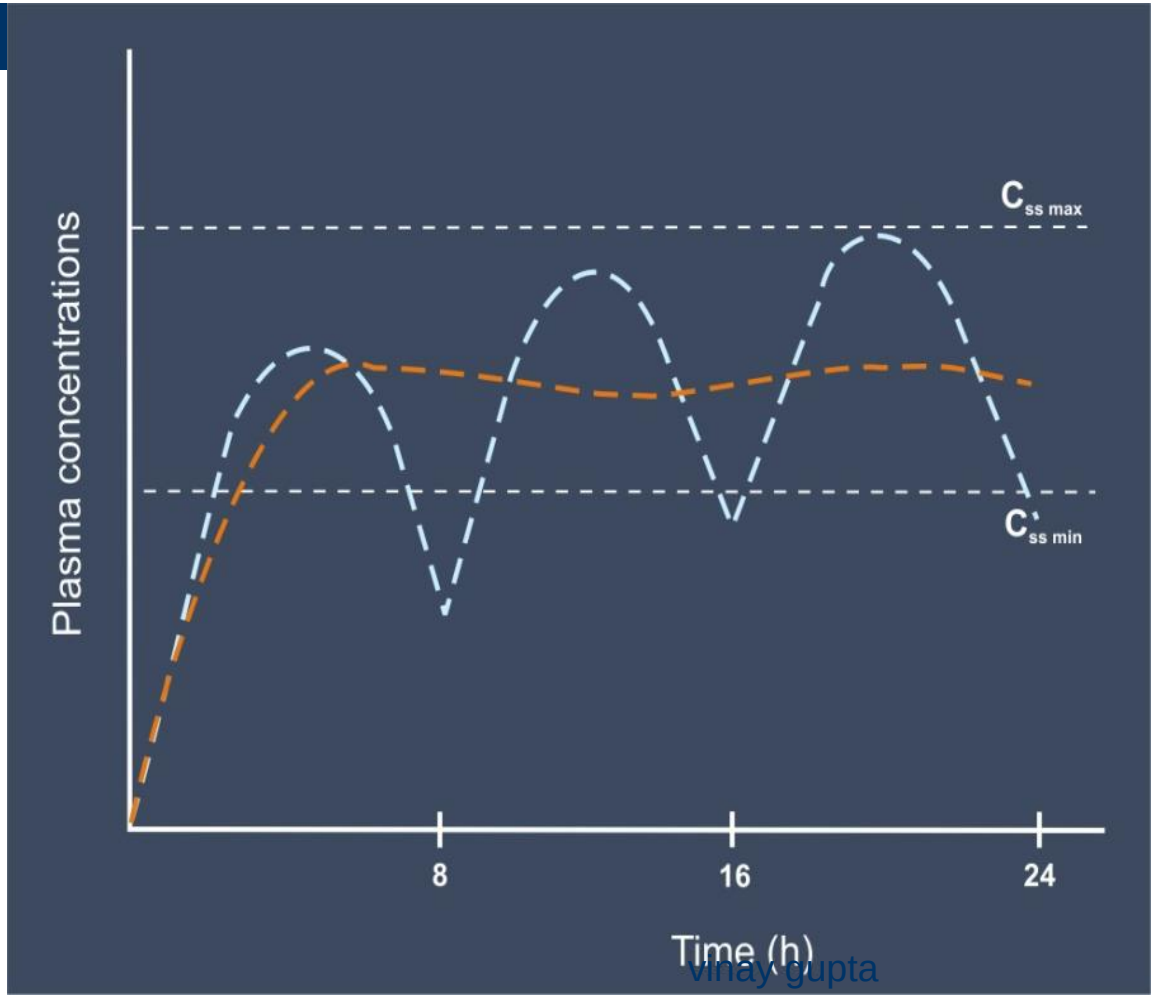
B- pleasant tasting carbonated drink.

4- Chewable tablet:

- They are tablets that chewed prior to swallowing.
- They are designed for administration to children e.g. vitamin products.

Dosage forms (Tablet) for oral administration

- Generations of dosage forms
  - **1st gen.** conventional (unmodified) release of API
  - **2nd gen.** controlled release of API (CR)



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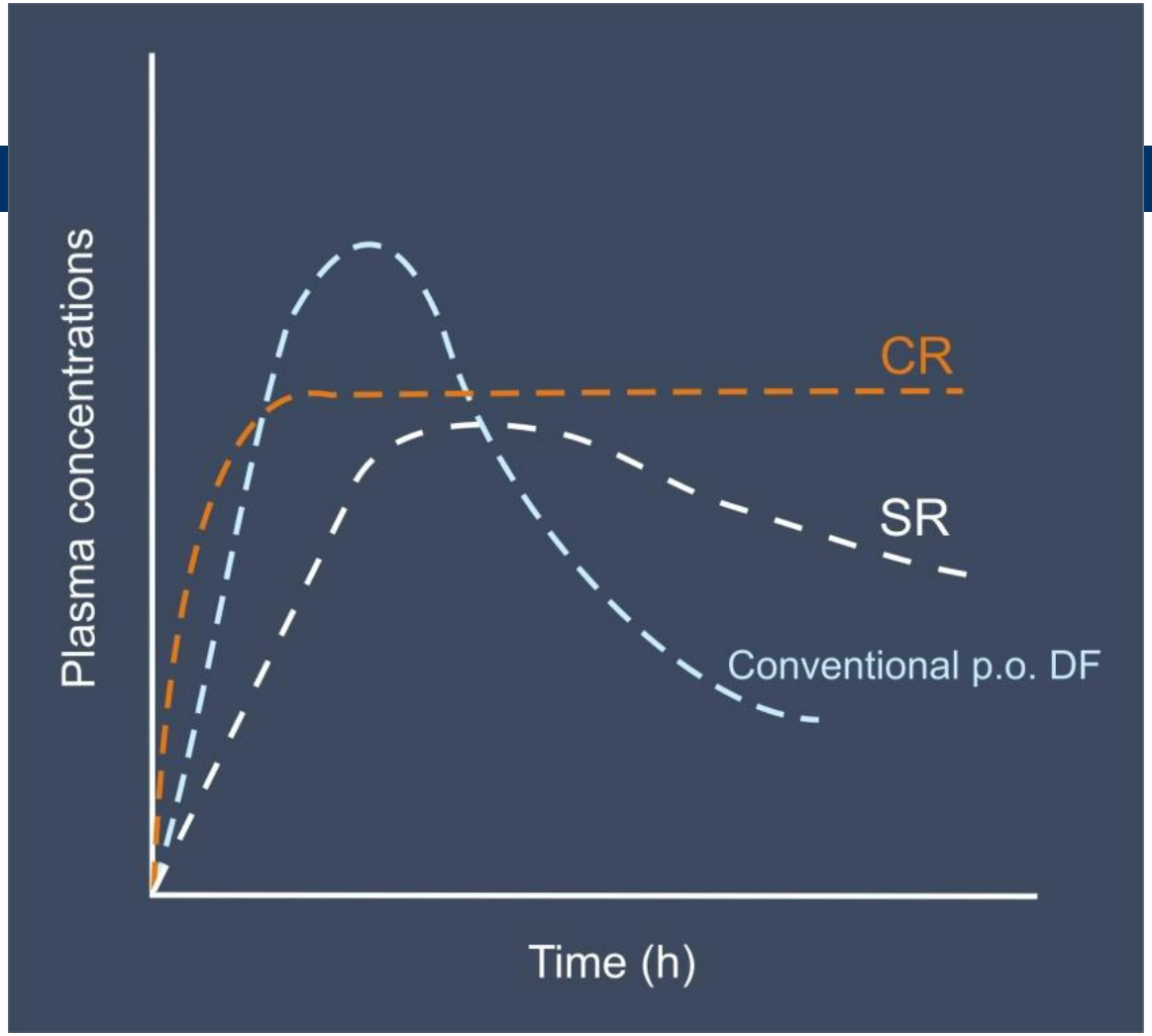
Conventional vs. controlled release forms

- **I. Gen.** – disintegration of the dosage form and dissolution of API is **spontaneous** process;
  - drug absorption and distribution is based only on physico-chemical properties of API

- **II. Gen. The release of API is under control of the drug delivery system (temporal control)**
  - Advantages:
    - Avoids fluctuations of plasma drug concentration → better safety and efficacy
    - Decreased frequency of drug administration (often once daily admin) → better compliance
  - Sustained release (SR) – release of the initial API dose & further prolonged release
  - Controlled release (CR) – properly controlled (Zero order) release of API


Plasma concentrations
CR
SR
Conventional p.o. DF
Time (h)

Spansules

Hard gelatin capsule

Soft gelatin capsule

5- Capsule:

A capsule is a medication in a gelatin container.

- Advantage: mask the unpleasant taste of its contents.

- The two main types of capsules are:

1- hard-shelled capsules, which are normally used for dry, powdered ingredients,

2- soft-shelled capsules, primarily used for oils and for active ingredients that are dissolved or suspended in oil.

6- Lozenge:

-It is a solid preparation consisting of sugar and gum, the latter giving strength and cohesiveness to the lozenge and facilitating slow release of the medicament.

- It is used to medicate the mouth and throat for the slow administration of indigestion or cough remedies.

7- Pastilles:

They are solid medicated preparations designed to dissolve slowly in the mouth. They are softer than lozenges and their bases are either glycerol and gelatin, or acacia and sugar.

Innocoll Dental Cone

8- Dental Cones:

- A tablet form intended to be placed in the empty socket following a tooth extraction, for preventing the local multiplication of pathogenic bacteria associated with tooth extractions.
- The cones may contain an antibiotic or antiseptic.

9-Pills:

- Pills are oral dosage forms which consist of spherical masses prepared from one or more medicaments incorporated with inert excipients.
- Pills are now rarely used.

10- Granules:

- They are consisting of solid, dry aggregates of powder particles often supplied in single-dose sachets.

- Some granules are placed on the tongue and swallowed with water, others are intended to be dissolved in water before taking.

- Effervescent granules evolve carbon dioxide when added to water.

11- Powder (Oral):

There are two kinds of powder intended for internal use.

1-*Bulk Powders* are multidose preparations consisting of solid, loose, dry particles of varying degrees of fineness. They contain one or more active ingredients, with or without excipients and, if necessary, coloring matter and flavoring substances.

- usually contain non-potent medicaments such as antacids since the patient measures a dose by volume using a 5ml medicine spoon. The powder is then usually dispersed in water or, in the case of effervescent powders, dissolved before taking.

2-Divided Powders are single-dose presentations of powder (for example, a small sachet) that are intended to be issued to the patient as such, to be taken in or with water.

12- Powders for mixtures:

- The mixed powders may be stored in dry form and mixture prepared by the pharmacist when required for dispensing , by suspending the powders in the appropriate vehicle.

13-Liquid preparations:

a- Oral solution:

Oral solutions are clear Liquid preparations for oral use containing one or more active ingredients dissolved in a suitable vehicle.

b- Oral emulsion:

Oral emulsions are stabilized oil-in-water dispersions, either or both phases of which may contain dissolved solids.

c-Oral suspension:

- Oral suspensions are Liquid preparations for oral use containing one or more active ingredients suspended in a suitable vehicle.
- Oral suspensions may show a sediment which is readily dispersed on shaking to give a uniform suspension which remains sufficiently stable to enable the correct dose to be delivered.

13-Liquid preparations (Cont.):

d- Syrup:

- It is a concentrated aqueous solution of a sugar, usually sucrose.
- Flavored syrups are a convenient form of masking disagreeable tastes.

e- Elixir:

-It is pleasantly flavored clear liquid oral preparation of potent or nauseous drugs.

- The vehicle may contain a high proportion of ethanol or sucrose together with antimicrobial preservatives which confers the stability of the preparation.

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13-Liquid preparations (Cont.):

f- Linctuses:

- Linctuses are viscous, liquid oral preparations that are usually prescribed for the relief of cough.
- They usually contain a high proportion of syrup and glycerol which have a demulcent effect on the membranes of the throat.
- The dose volume is small (5ml) and, to prolong the demulcent action, they should be taken undiluted.

g- Oral drops:

Oral drops are Liquid preparations for oral use that are intended to be administered in small volumes with the aid of a suitable measuring device. They may be solutions, suspensions or emulsions.

13-Liquid preparations:

h- Gargles:

- They are aqueous solutions used in the prevention or treatment of throat infections.
- Usually they are prepared in a concentrated solution with directions for the patient to dilute with warm water before use.

i- Mouthwashes:

These are similar to gargles but are used for oral hygiene and to treat infections of the mouth.

II) Topical dosage forms:

1- Ointments:

- Ointments are semi-solid, greasy preparations for application to the skin, rectum or nasal mucosa.

- The base is usually anhydrous and immiscible with skin secretions.

- Ointments may be used as emollients or to apply suspended or dissolved medicaments to the skin.

Topical dosage forms (Cont.):

2- Creams:

- Creams are semi-solid emulsions, that is mixtures of oil and water.
- They are divided into two types:

A- oil-in-water (O/W) creams: which are composed of small droplets of oil dispersed in a continuous aqueous phase.

Oil-in-water creams are more comfortable and cosmetically acceptable as they are less greasy and more easily washed off using water.

B- water-in-oil (W/O) creams: which are composed of small droplets of water dispersed in a continuous oily phase.

Water-in-oil creams are more difficult to handle but many drugs which are incorporated into creams are hydrophobic and will be released more readily from a water-in-oil cream than an oil-in-water cream.

Water-in-oil creams are also more moisturising as they provide an oily barrier which reduces water loss from the stratum corneum, the outermost layer of the skin.

Topical dosage forms (Cont.):

3- Gels (Jellies):

-Gels are semisolid system in which a liquid phase is constrained within a 3-D polymeric matrix (consisting of natural or synthetic gum) having a high degree of physical or chemical cross-linking.

-They are used for medication, lubrication and some miscellaneous applications like carrier for spermicidal agents to be used intra vaginally .

4- Poultice:

It is soft, viscous, pasty preparation for external use. They are applied to skin while they are hot. Poultice must retain heat for a considerable time because they are intended to supply warmth to inflamed parts of body.
E.g. Kaolin poultice (B.P.C.)

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Topical dosage forms (Cont.):

5- Pastes :

- Pastes are basically ointments into which a high percentage of insoluble solid has been added

-The extraordinary amount of particulate matter stiffens the system.

-Pastes are less penetrating and less macerating and less heating than ointment.

-Pastes make particularly good protective barrier when placed on the skin, the solid they contain can absorb and thereby neutralize certain noxious chemicals before they ever reach the skin.

- Like ointments, paste forms an unbroken relatively water – impermeable film unlike ointments the film is opaque and therefore can be used as an effective sun block accordingly.

-Pastes are less greasy because of the absorption of the fluid hydrocarbon fraction to the particulates.

Topical dosage forms (Cont.):

There are two types of paste:

a) Fatty pastes (e.g: leaser's paste) .

b) Non greasy pastes (e g: - bassorin paste).

6- Dusting powders:

- These are free flowing very fine powders for external use.
- Not for use on open wounds unless the powders are sterilized.

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Topical dosage forms (Cont.):

7- Transdermal patch:

-A **transdermal patch** or **skin patch** is a medicated adhesive patch that is placed on the skin to deliver a specific dose of medication through the skin and into the bloodstream.

-An advantage of a transdermal drug delivery route over other types such as oral, topical, etc is that it provides a controlled release of the medicament into the patient.

- The first commercially available patch was scopolamine for motion sickness.

Topical dosage forms (Cont.):

8-Plasters:

- Plasters are solid or semisolid masses adhere to the skin when spread upon cotton felt line or muslin as a backing material and they are mainly used to,

A- Afford protection and mechanical support.

B- Furnish an occlusive and macerating action.

C- Bring medication into close contact with the surface of the skin.

Topical dosage forms (Cont.):

9- Liniments:

- Liniments are fluid, semi-fluid or, occasionally, semi-solid preparations intended for application to the skin.
- They may be alcoholic or oily solutions or emulsions.
- Most are massaged into the skin (e.g. counter-irritant).
- Liniments should not be applied to broken skin.

Topical dosage forms (Cont.):

10-Lotions:

- These are fluid preparations (aqueous) for external application without friction.
- They are either dabbed on the skin or applied on a suitable dressing and covered with a waterproof dressing to reduce evaporation.

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Topical dosage forms (Cont.):

11- Collodion:

Collodion is a solution of nitrocellulose in ether or acetone, sometimes with the addition of alcohols.

-Its generic name is pyroxylin solution.

-It is highly flammable.

- As the solvent evaporates, it dries to a celluloid-like film.

- Compound Wart Remover consists of acetic acid and salicylic acid in an acetone collodion base used in Treatment of warts by keratolysis.

Topical dosage forms (Cont.):

12- Paints:

- Paints are liquids for application to the skin or mucous membranes.

- Skin paints contain volatile solvent that evaporates quickly to leave a dry resinous film of medicament.

- Throat paints are more viscous due to a high content of glycerol, designed to prolong contact of the medicament with the affected site.

Topical dosage forms (Cont.):

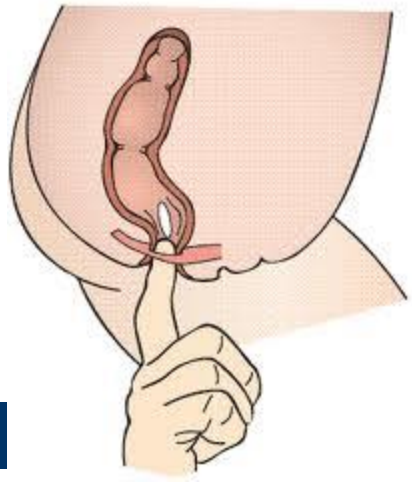
13- Pressurized dispensers (aerosol sprays):

- Several different types of pharmaceutical product may be packaged in pressurized dispensers, known as aerosols.

- Surface sprays produce droplets of 100 um diameter or greater.

- May be used as surface disinfectants, wound or burn dressing, relieve irritation of bites.

- Spray-on dusting powders are also available from pressurized containers.



III) Rectal dosage forms:

1- Suppository:

It is a small solid medicated mass, usually cone-shaped ,that is inserted either into the rectum (rectal suppository), vagina (vaginal suppository or pessaries) where it melts at body temperature .

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Rectal dosage forms:

2- Enema:

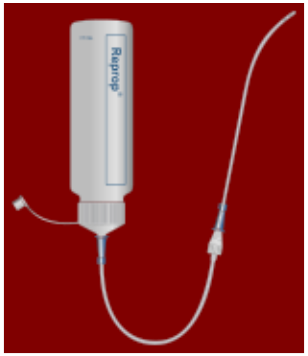
An **enema** is the procedure of introducing liquids into the rectum and colon via the anus.

Types of enema:

1- Evacuant enema: used as a bowel stimulant to treat constipation. E.g. soft soap enema & $Mgso_4$ enema

-The volume of evacuant enemas may reach up to 2 liters.

- They should be warmed to body temperature before administration.



Rectal dosage forms (Cont.):

2- Retention enema:

- Their volume does not exceed 100 ml.
- No warming needed.

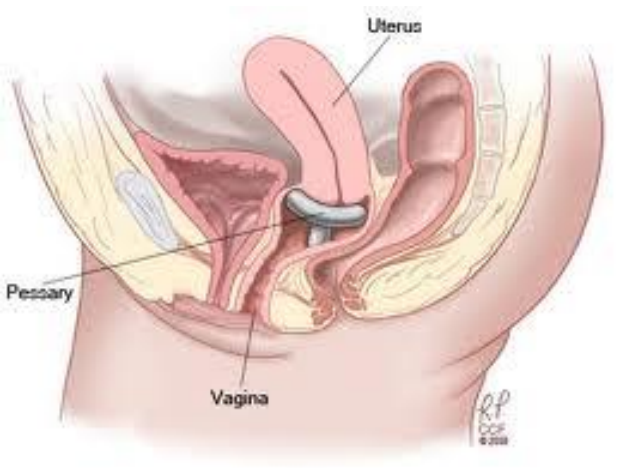
- May exert:

A- Local effect: e.g. a barium enema is used as a contrast substance in the radiological imaging of the bowel.

B- Systemic effect:

e.g. the administration of substances into the bloodstream. This may be done in situations where it is impossible to deliver a medication by mouth, such as antiemetics.

e.g. nutrient enema which contains carbohydrates, vitamins & minerals.



IV) Vaginal dosage forms:

1- Pessary:

- Pessaries are solid medicated preparations designed for insertion into the vagina where they melt or dissolve.
- There are three types:

A- Moulded pessaries: they are cone shaped and prepared in a similar way to moulded suppositories.

B- Compressed pessaries: made in a variety of shapes and are prepared by compression in a similar manner to oral tablets.

C- Vaginal capsules: are similar to soft gelatin oral

Capsules differing only in size and shape.

Vaginal dosage forms:

2- Vaginal ring:

Vaginal rings are 'doughnut-shaped' polymeric drug delivery devices

designed to provide controlled release of drugs to the vagina over extended periods of time.

Several vaginal ring products are currently available, including:

Femring :

a low-dose estradiol-acetate releasing ring, manufactured from silicone elastomer, for the relief of hot flashes and vaginal atrophy associated with menopause.

NuvaRing:

a low-dose contraceptive vaginal ring, releasing progesterone and estrogen.

Vaginal dosage forms (Cont.):

3- Douche:

A **douche** is a device used to introduce a stream of water into the body cavity for medical or hygienic reasons.

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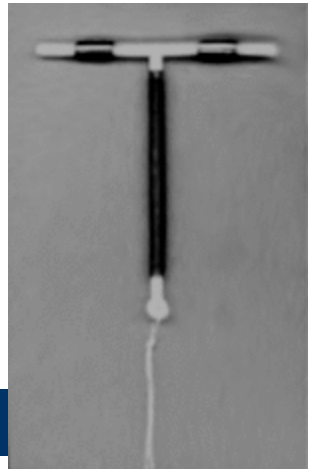
Vaginal dosage forms (Cont.):



4- Intrauterine device:

-It is a birth control device placed in the uterus, also known as an **IUD** or a **coil.**

-The IUD is the world's most widely used method of reversible birth control.

-The device has to be fitted inside or removed from the uterus by a doctor .

-It remains in place the entire time pregnancy is not desired. Depending on the type, a single IUD is approved for 5 to 10 years use.

-There are two broad categories of intrauterine contraceptive devices:
A- inert and copper-based devices.
B- hormonally-based devices that work by releasing a progesterone.

V) Parenteral dosage forms:

An injection is an infusion method of putting liquid into the body, usually with a hollow needle and a syringe which is pierced through the skin to a sufficient depth for the material to be forced into the body.

There are several methods of injection, including:

1-An intravenous injection:

It is a liquid administered directly into the bloodstream via a vein.

It is advantageous when a rapid onset of action is needed.

Parenteral dosage forms :

2- Intramuscular injection:

-It is the injection of a substance directly into a muscle.

- Many vaccines are administered intramuscularly.

-Depending on the chemical properties of the drug, the medication may either be absorbed fairly quickly or more gradually.

- Intramuscular injections are often given in the deltoid, vastus lateralis, ventrogluteal and dorsogluteal muscles.

Parenteral dosage forms:

3- Subcutaneous injection:

Subcutaneous injections are given by injecting a fluid into the sub cutis, the layer of skin directly below the dermis and epidermis.

Subcutaneous injections are highly effective in administering vaccines and such medications as insulin.

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VI) Inhaled dosage forms

1- Inhaler :

- Inhalers are solutions, suspensions or emulsion of drugs in a mixture of inert propellants held under pressure in an aerosol dispenser.
- Release of a dose of the medicament in the form of droplets of 50 um diameter or less from the container through a spring-loaded valve incorporating a metering device. The patient then inhales the released drug through a mouthpiece.
- In some types, the valve is actuated by finger pressure, in other types the valve is actuated by the patient breathing in through the mouthpiece.
- It is commonly used to treat asthma and other respiratory problems.

Inhaled dosage forms (Cont.)

2- Nebulizer or (atomizer):

A nebulizer is a device used to administer medication to people in forms of a liquid mist to the airways.

- It is commonly used in treating asthma, and other respiratory diseases.
- It pumps air or oxygen through a liquid medicine to turn it into a vapor, which is then inhaled by the patient.

-As a general rule, doctors generally prefer to prescribe inhalers for their patients, because:

1-These are cheaper

2- more portable

3- carry less risk of side effects.

Nebulizers, for that reason, are usually reserved only for serious cases of respiratory disease, or severe attacks.

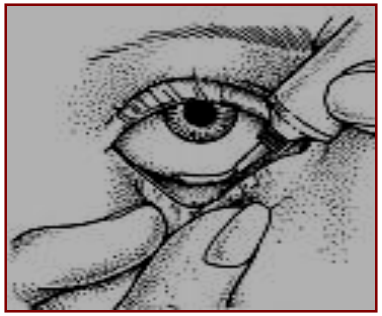
VII) Ophthalmic dosage forms:

1- Eye drops:

Eye drops are saline-containing drops used as a vehicle to administer medication in the eye.
Depending on the condition being treated, they may contain steroids, antihistamines or topical anesthetics.
Eye drops sometimes do not have medications in them and are only lubricating and tear-replacing solutions.

2- Ophthalmic ointment & gel:

These are sterile semi-solid
Preparations intended for application
To the conjunctiva or eyelid margin.



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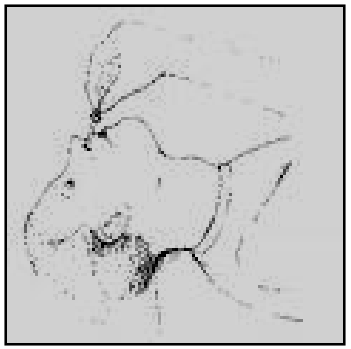
VIII) Otic dosage forms:

1- Ear drops:

- Ear drops are solutions, suspensions or emulsions of drugs that are instilled into the ear with a dropper.
- It is used to treat or prevent ear infections, especially infections of the outer ear and ear canal.

IX) Nasal dosage forms:



1- Nasal Drops and Sprays:

Drugs in solution may be instilled into the nose from a dropper or from a plastic squeeze bottle.

The drug may have a local effect, e.g. antihistamine, decongestant.

Alternatively the drug may be absorbed through the nasal mucosa to exert a systemic effect.

The use of oily nasal drops should be avoided because of possible damage to the cilia of the nasal mucosa.